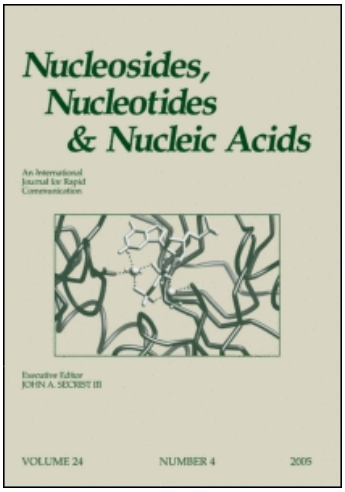

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Improved Synthesis and Cytostatic Activity of 1-Deazaadenosine

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IMPROVED SYNTHESIS AND CYTOSTATIC ACTIVITY OF 1-DEAZAADENOSINE.

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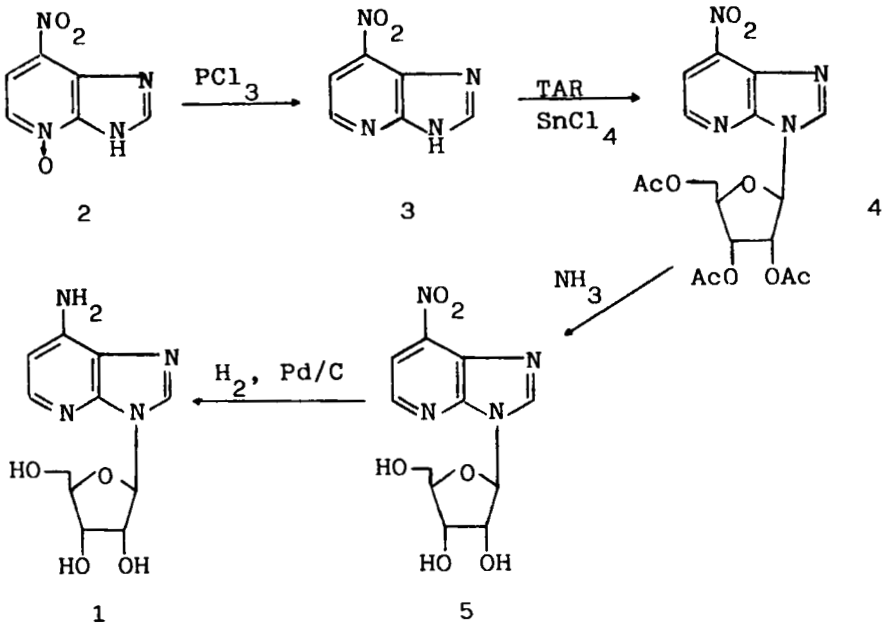
Summary: A more convenient synthetic route to 1-deazaadenosine starting from 7-nitroimidazo[4,5-b]pyridine 4-oxide is reported. 1-Deazaadenosine showed a good cytostatic activity in vitro.

The adenosine analogue 1-deazaadenosine (1) showed to have a wide spectrum of biological properties. Recent our studies pointed out its activity as inhibitor of blood platelet aggregation[1] and of adenosine deaminase,[2] and as agonist of the adenosine receptors.[3] Furthermore Japanese authors have reported, without providing data, that 1 shows antileukemic activity.[4] Several syntheses of 1 have been reported and the most convenient one appears to be that described by Itoh and co-workers which have obtained in six steps the title compound in a 25% overal yield, starting from imidazo[4,5-b]pyridine 4-oxide.[4] Now we wish to report a more convenient synthetic route to 1 starting from 7-nitroimidazo[4,5-b]pyridine 4-oxide (2), which may be easily obtained by nitration of imidazo[4,5-b]pyridine 4-oxide.[1] The synthesis was carried out by the sequence shown in the Scheme 1.



2 3 4 1 5

Scheme 1

The present route for the synthesis of 1-deazaadenosine, with an overall yield of 40%, involves a minor number of steps and represents an improvement in yield and procedure respect to that described by Itoh and coworkers.

1-Deazaadenosine showed good activity in vitro as inhibitor of HeLa, KB and P388 leukemia cell lines growt (ID_{50}, 0.3-1.2 μM).

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